

(43) International Publication Date 1 August 2002 (01.08.2002)

International Bureau

PCT

(10) International Publication Number WO 02/059116 A2

- (51) International Patent Classification⁷: C07D 413/12, 498/04, 413/10, 413/04, A61K 31/4709, A61P 31/04
- (21) International Application Number: PCT/US01/44731
- (22) International Filing Date:

29 November 2001 (29.11.2001)

(25) Filing Language:

English

(26) Publication Language:

English

- (30) Priority Data: 60/257,904 21 December 2000 (21.12.2000) US
- (71) Applicant (for all designated States except US): PHAR-MACIA & UPJOHN COMPANY [US/US]; 301 Henrietta Street, Kalamazoo, MI 49007 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): GORDEEV, Mikhail, F. [US/US]; 5072 Stone Canyon Drive, Castro Valley, CA 94552 (US). PATEL, Dinesh, V. [US/US]; 45109 Cougar Circle, Fremont, CA 94539 (US). BAR-BACHYN, Michael, R. [US/US]; 2900 Redbud Trail, Kalamazoo, MI 49009 (US). GAGE, James, R. [US/US]; 341 Point-O-Woods Drive, Portage, MI 49002 (US).

- (74) Agent: HOPKINS, Mark, H.; Marshall, Gerstein & Borun, 6300 Sears Tower, 233 South Wacker Drive, Chicago, IL 60606 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

 without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.



(54) Title: ANTIMICROBIAL QUINOLONE DERIVATIVES AND USE OF THE SAME TO TREAT BACTERIAL INFECTIONS

(57) Abstract: Substituted quinolone derivatives in which an oxazolidinone, isoxazolinone, or isoxazoline is covalently bonded to a quinolone, methods of using the quinolone derivatives, and pharmaceutical compositions containing the quinolone derivatives are disclosed. Methods of synthesizing these substituted quinolone derivatives are also disclosed, and in particular a method of manufacturing a 7-(2-oxo-1,3-oxazolidin-3-yl)aryl-3-quinolinecarboxylic acid by condensing a 4-(2-oxo-1,3-oxazolidin-5-yl)aryl boronic acid with a 7-halo-quinolone derivative. The quinolone derivatives possess antibacterial activity, and are effective against a number of human and veterinary pathogens in the treatment of bacterial diseases.